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FILE COVERS 1907 - 29 Apr 2005  VOL 142 ISS 19
FILE LAST UPDATED: 28 Apr 2005  (20050428/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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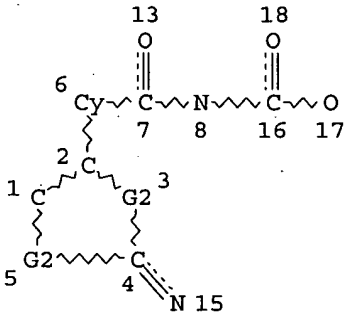


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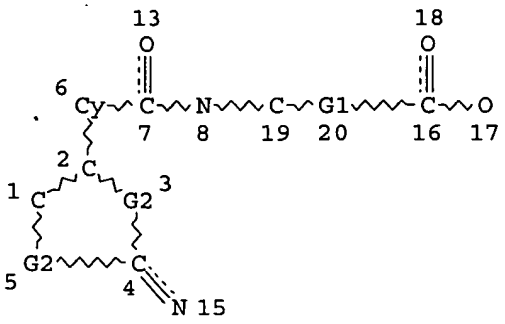

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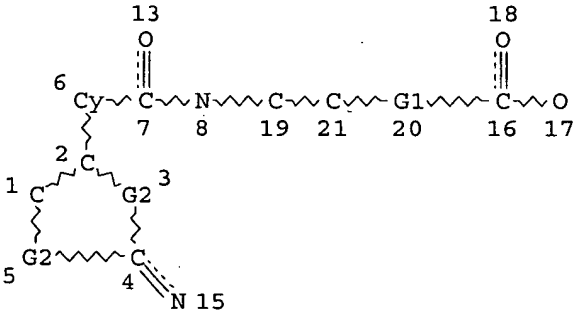

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L24 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L23

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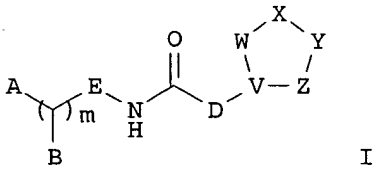
=> d ibib abs hitstr l24 1-7

L24 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:878167 HCAPLUS
DOCUMENT NUMBER: 141:366227
TITLE: Preparation of imidazolidin-2-one and oxazolidin-2-one derivatives as glucagon receptor antagonists/inverse agonists
INVENTOR(S): Kurukulasuriya, Ravi; Link, James T.; Patel, Jyoti R.; Sorensen, Bryan K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004209928	A1	20041021	US 2003-743954		20031223
PRIORITY APPLN. INFO.:			US 2002-437132P	P	20021230

OTHER SOURCE(S): MARPAT 141:366227
GI



I

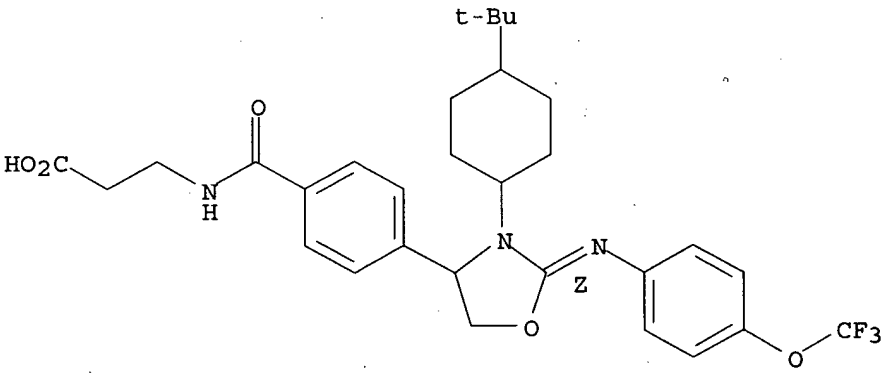
AB Compds. of formula (I) or pharmaceutically suitable salts, esters or prodrugs thereof, [wherein A = CO2H, tetrazole; B = H, F, OH, alkoxy, NRaRb (wherein Ra, Rb = H, alkyl, alkylcarbonyl, alkylsulfonyl alkoxyalkyl, cycloalkyl, cycloalkylcarbonyl, cycloalkylsulfonyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylcarbonyl, heterocyclesulfonyl); D = aryl, heteroaryl; E = (CH2)n; m, n = 0, 1, 2; V = C(Rc), N (wherein Rc = H, alkyl, alkoxy, alkoxyalkyl, cycloalkyl, cycloalkyloxy, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl); W = C(RdRe), (Rd)N, O, S, S(O), S(O)2; X = C(O), C(O)C(RfRg), C(RfRg)C(O), C(S), C(RfRg), C(RfRg)C(RiRj), C:N(Rj), S(O), S(O)2; Y = C(RkRm), (Rk)N, O, S, S(O), S(O)2; Z = a bond, C(RpRq), C(RpRq)C(RsRt); Rd, Re, Rf, Rg, Ri, Rj, Rk, Rm, Rp, Rq, Rs, Rt = H, alkyl, alkoxy, alkoxyalkyl, aryl, arylalkyl, aryloxy, arylalkoxy, cycloalkyl, cycloalkylalkyl, cycloalkyloxy, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclyloxy, heterocyclylalkoxy] are prepared These compds. are novel glucagon receptor antagonists or inverse agonists and are useful for treating (1) type 2 diabetes in a mammal, (2) symptoms related to type 1 or type 2 diabetes in a mammal wherein said symptoms are selected from the group consisting of hyperglycemia, hyperinsulinemia, inadequate glucose clearance, obesity, hyperlipidemia, lipid metabolism disorders and hypertension, and (3) diabetes or syndrome X in a mammal. Thus, 3-[4-[1-(4-tert-butylcyclohexylamino)-2-(tert-butyldimethylsilanyloxy)ethyl]benzoylamino]propionic acid Et ester underwent addition reaction with 4-(trifluoromethoxy)phenyl isocyanate in THF at ambient temperature for 12 h to give 3-[4-[1-[N-(4-tert-butylcyclohexyl)-N'-(4-trifluoromethoxyphenyl)ureido]-2-(tert-butyldimethylsilanyloxy)ethyl]benzoylamino]propionic acid Et ester (II). Desilylation of II with Bu4NF in THF at 0° for 30 min gave 3-[4-[1-[N-(4-tert-Butylcyclohexyl)-N'-(4-

trifluoromethoxyphenyl)ureido]-2-hydroxyethyl]benzoylamino]propionic acid Et ester which was cyclized by treatment with polymer supported triphenylphosphine (0.146 g, 0.44 mmol) followed by di-Et azodicarboxylate, saponification with NaOH in aqueous MeOH, and acidification with 1 N aqueous HCl to give N-[4-[3-(4-tert-butylcyclohexyl)-2-oxo-1-[4-(trifluoromethoxy)phenyl]imidazolidin-4-yl]benzoyl]-β-alanine. The compds. I were found to inhibit glucagon-stimulated cAMP production at a concentration of 20 μM a range of about 50 to .apprx.100%.

IT **780763-68-0P**, N-[4-[(2Z)-3-(4-tert-Butylcyclohexyl)-2-[[4-(trifluoromethoxy)phenyl]imino]-1,3-oxazolidin-4-yl]benzoyl]-β-alanine **780763-69-1P**, N-[4-[(2Z)-2-[(4-Bromophenyl)imino]-3-(4-tert-butylcyclohexyl)-1,3-oxazolidin-4-yl]benzoyl]-β-alanine **780763-70-4P**, N-[4-[(2Z)-3-(4-tert-Butylcyclohexyl)-2-[(4-phenoxyphenyl)imino]-1,3-oxazolidin-4-yl]benzoyl]-β-alanine **780763-71-5P**, N-[4-[(2Z)-2-(1,1'-Biphenyl-4-ylimino)-3-(4-tert-butylcyclohexyl)-1,3-oxazolidin-4-yl]benzoyl]-β-alanine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazolidin-2-one and oxazolidin-2-one derivs. as glucagon receptor antagonists/inverse agonists for treating type II diabetes or symptoms related to type 1 or 2 diabetes)

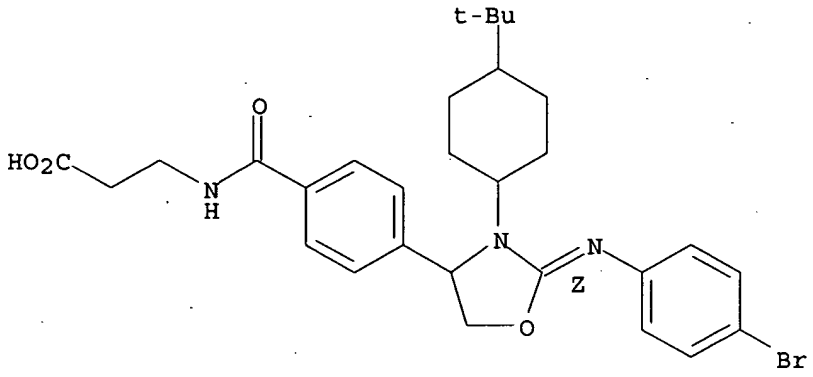
RN 780763-68-0 HCAPLUS
CN β-Alanine, N-[4-[(2Z)-3-[4-(1,1-dimethylethyl)cyclohexyl]-2-[[4-(trifluoromethoxy)phenyl]imino]-4-oxazolidinyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



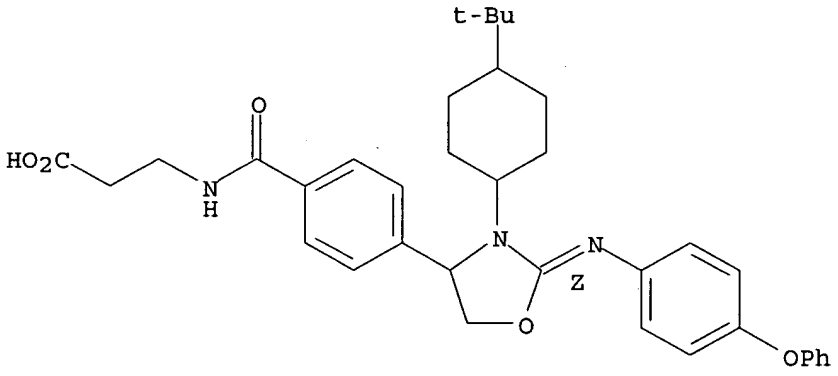
RN 780763-69-1 HCAPLUS
CN β-Alanine, N-[4-[(2Z)-2-[(4-bromophenyl)imino]-3-[4-(1,1-dimethylethyl)cyclohexyl]-4-oxazolidinyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



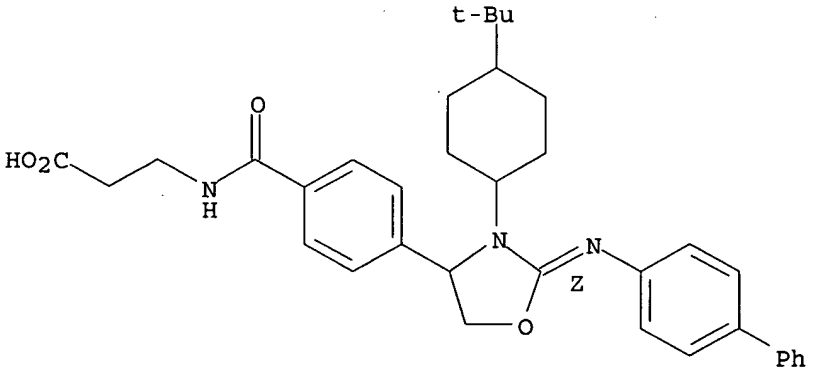
RN 780763-70-4 HCAPLUS
CN β-Alanine, N-[4-[(2Z)-3-[4-(1,1-dimethylethyl)cyclohexyl]-2-[(4-phenoxyphenyl)imino]-4-oxazolidinyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 780763-71-5 HCAPLUS
CN β-Alanine, N-[4-[(2Z)-2-([1,1'-biphenyl]-4-ylimino)-3-[4-(1,1-dimethylethyl)cyclohexyl]-4-oxazolidinyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L24 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:412749 HCAPLUS
DOCUMENT NUMBER: 140:423705
TITLE: A preparation of piperidinylcyclopentyl amide derivatives, useful as modulators of chemokine receptor activity
INVENTOR(S): Zhou, Changyou; Pasternak, Alexander; Yang, Lihu
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041163	A2	20040521	WO 2003-US34099	20031024
WO 2004041163	A3	20040715		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-422381P P 20021030
OTHER SOURCE(S): MARPAT 140:423705
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

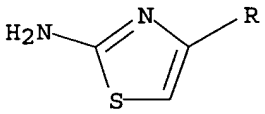
AB The invention relates to piperidinylcyclopentyl amide derivs. of formula I [wherein: X is -O-, -CH2O-, -CO2-, or -OC(O)-, etc.; W is (un)substituted Ph or heterocycle; Z is C, N, or O, wherein when Z is N, then R4 is absent, and when W is O, then both R3 and R4 are absent; n = 0-4; R1 is H, halo, trifluoromethyl, OH, alkyl, or CN, etc.; R2 is (un)substituted C0-6alkyl-(phenyl/heterocycle); R3 is (un)substituted C0-6alkyl-phenyl; R4 is H, OH, CN, or alkyl, etc.; R5 and R6 are independently selected from H, OH, alkyl, alkoxy, or oxo, etc,; R3 and R5 or R4 and R6 may be joined together to form (un)substituted ring] , useful as modulators of chemokine receptor activity. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. For instance, piperidinylcyclopentyl amide derivative II (CCR-2 receptor binding IC50 < 1μM) was prepared via amination of the obtained intermediate cyclopentanone derivative III by 4-(4-fluorophenyl)piperidine with a yield of 66% (example 1).

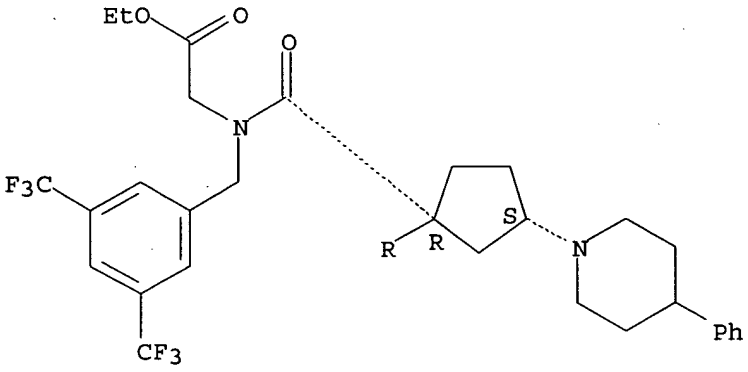
IT **690654-26-3P 690654-27-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylcyclopentyl amide derivs., useful as modulators of chemokine receptor activity)

RN 690654-26-3 HCAPLUS
CN Glycine, N-[[(1R,3S)-1-(2-amino-4-thiazolyl)-3-(4-phenyl-1-piperidinyl)cyclopentyl]carbonyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methy

l]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

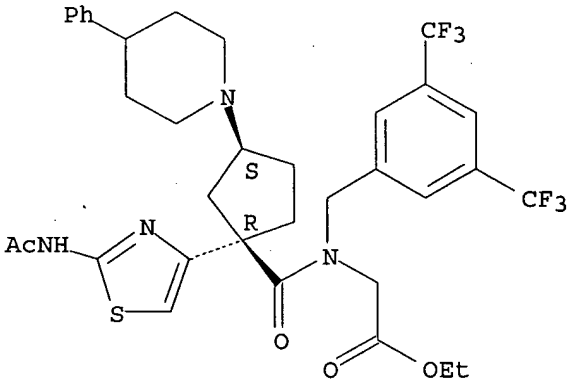
Relative stereochemistry.





RN 690654-27-4 HCAPLUS
CN Glycine, N-[[(1R,3S)-1-[2-(acetylamino)-4-thiazolyl]-3-(4-phenyl-1-piperidinyl)cyclopentyl]carbonyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L24 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:242293 HCAPLUS
DOCUMENT NUMBER: 138:271976
TITLE: Preparation of amino acid sulfonamide derivatives as protease inhibitors
INVENTOR(S): Palmer, James
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024923	A1	20030327	WO 2002-US28505	20020909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003158231	A1	20030821	US 2002-237509	20020909
PRIORITY APPLN. INFO.:			US 2001-322220P P	20010914

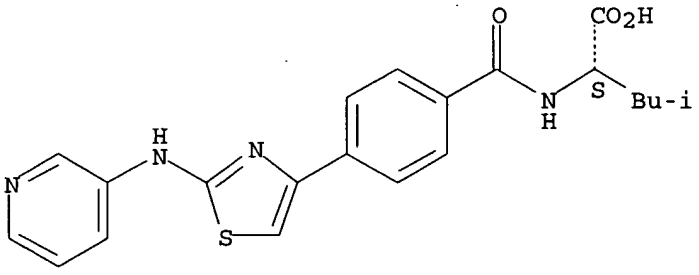
OTHER SOURCE(S): MARPAT 138:271976

AB Sulfonamide compds. R6NHCR4R5CONHCHR3CH2SO2NR1R2 [R1, R2 = H, (functionalized) alkyl, (hetero)cycloalkyl, (hetero)aryl, (hetero)bicycloaryl, functional groups, etc.; R3 = H, (functionalized) alkyl, etc.; R4 = H, alkyl; R5 = (functionalized) alkyl; or CR4R5 = cycloalkylene; R6 = H, acyl] or their pharmaceutically-acceptable salts were prepared as cysteine protease inhibitors. Thus, benzyl 1S-[[1S-[[(4-methoxyphenyl)sulfamoyl]methyl]-3-phenylpropyl]carbamoyl]-3-methylbutylcarbamate was prepared by coupling of 2S-(benzyloxycarbonylamino)-4-methylpentanoic acid with 2S-amino-N-(4-methoxyphenyl)-4-phenylbutane-1-sulfonamide hydrochloride in THF in the presence of 4-methylmorpholine and iso-Bu chloroformate.

IT **294622-71-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid sulfonamide derivs. as protease inhibitors)

RN 294622-71-2 HCAPLUS
CN L-Leucine, N-[4-[2-(3-pyridinylamino)-4-thiazolyl]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:693319 HCAPLUS
DOCUMENT NUMBER: 135:257468
TITLE: Preparation of N-(4-thiazolylbenzoyl)-N-(cyanomethyl)-L-leucinamides and analogs as protease inhibitors
INVENTOR(S): Palmer, James T.; Setti, Eduardo L.; Tian, Zong-Qiang; Venkatraman, Shankar; Wang, Dan-Xiong
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068645	A2	20010920	WO 2001-US8332	20010314
WO 2001068645	A3	20020307		

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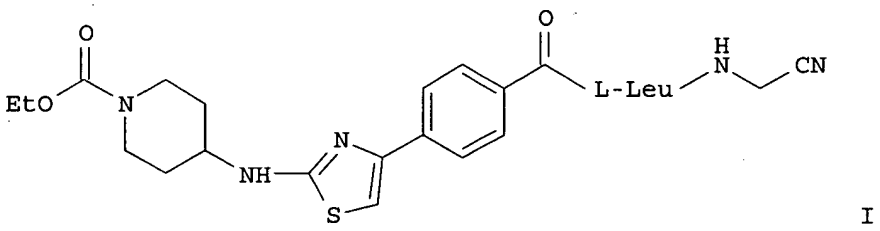
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-189694P P 20000315
GI



I

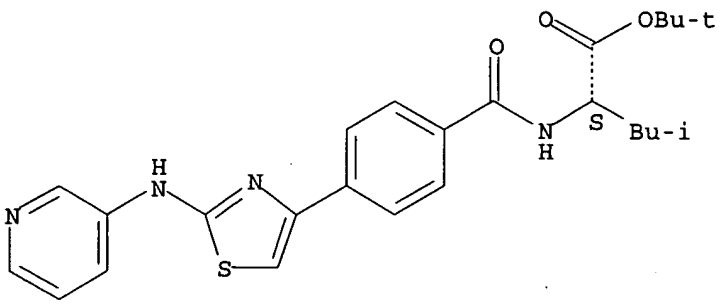
AB The title compds. and their pharmaceutically acceptable salts, N-oxides, prodrugs, protected derivs., or isomers thereof were prepared as cysteine protease inhibitors. For example, stirring a solution of 4-[2-(1-tert-butoxycarbonylpiperidin-4-ylamino)thiazol-4-yl]benzoic acid (preparation given) and the MeSO3H salt of 2S-amino-N-cyanomethyl-4-methylpentanamide overnight at room temperature with PyBOP and diisopropylethylamine in DMF, followed by conversion to the Et ester, yielded I (77%). Test compds. inhibited cathepsin B, K, L, and S (no data). The invention compds. and compns. with a bisphosphonic acid and/or an estrogen receptor agonist are claimed for treating osteoporosis in post-menopausal women (no data).

IT **294622-48-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-thiazolylbenzoyl-N-cyanomethyl-L-leucinamides and analogs as cysteine protease inhibitors for treatment of osteoporosis)

RN 294622-48-3 HCAPLUS

CN L-Leucine, N-[4-[2-(3-pyridinylamino)-4-thiazolyl]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:666701 HCAPLUS
DOCUMENT NUMBER: 133:252050
TITLE: Preparation of novel N-cyanomethyl amide compounds and compositions as protease inhibitors to treat osteoporosis
INVENTOR(S): Bryant, Clifford M.; Palmer, James T.; Rydzewski, Robert M.; Setti, Eduardo L.; Tian, Zong-Qiang; Venkatraman, Shankar; Wang, Dan-Xiong
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055126	A2	20000921	WO 2000-US6837	20000315
WO 2000055126	A3	20010222		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1161415	A2	20011212	EP 2000-916375	20000315
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BR 2000009043	A	20020108	BR 2000-9043	20000315
TR 200103337	T2	20020321	TR 2001-200103337	20000315
TR 200103390	T2	20020521	TR 2001-200103390	20000315
US 6455502	B1	20020924	US 2000-526090	20000315
TR 200201874	T2	20021021	TR 2002-200201874	20000315
US 6476026	B1	20021105	US 2000-526485	20000315
JP 2002539192	T2	20021119	JP 2000-605557	20000315

EE 200100487	A	20030217	EE 2001-487		20000315
AU 769736	B2	20040205	AU 2000-37486		20000315
PT 1178958	T	20040730	PT 2000-916343		20000315
EP 1452522	A2	20040901	EP 2004-75486		20000315
EP 1452522	A3	20050209			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, MK, CY, AL					
ES 2215626	T3	20041016	ES 2000-916343		20000315
ZA 2001007494	A	20020911	ZA 2001-7494		20010911
ZA 2001007495	A	20020911	ZA 2001-7495		20010911
NO 2001004484	A	20011026	NO 2001-4484		20010914
BG 106013	A	20020531	BG 2001-106013		20011012
HR 2001000737	A1	20021031	HR 2001-737		20011012
US 2002086996	A1	20020704	US 2001-17851		20011214
US 6593327	B2	20030715			
US 2003096796	A1	20030522	US 2002-205600		20020724
US 2003119788	A1	20030626	US 2002-241001		20020909
US 2004147745	A1	20040729	US 2004-758893		20040115
PRIORITY APPLN. INFO.:			US 1999-124420P	P	19990315
			EP 2000-916343	A3	20000315
			US 2000-526090	A1	20000315
			US 2000-526485	A3	20000315
			WO 2000-US6837	W	20000315
			US 2002-205600	B1	20020724

OTHER SOURCE(S): MARPAT 133:252050

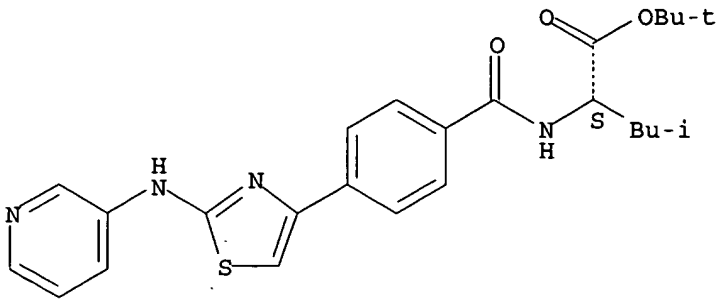
AB Title compds. [R1R2NCR3R4CN; R1 = R11R7NCR5R9X1, R11R8NCR6R10X2NR7CR5R9CX1; X1, X2 independently = CO, CH2SO2; R5, R6 independently = H, C1-6alkyl; R7, R8 independently = H, C1-6alkyl; R9, R10 independently = (un)substituted-C1-6alkyl; R9-R7 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R10-R8 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R5-R9 = C3-8cycloalkylene, C3-8heterocycloalkylene; R10-R6 = C3-8cycloalkylene, C3-8heterocycloalkylene; R11 = X4X5R18; X4 = CO, COCO, SO2; X5 = bond, O, NH; R18 = C1-6alkyl; R2 = H, C1-6alkyl; R3 = H, C1-6alkyl; R4 = CN, COOH, COOC1-6alkyl; R2-R4 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R4-R3 = C3-8cycloalkylene, C3-8heterocycloalkylene], N-oxide, prodrug, isomers, pharmaceutically acceptable salts, and composition are prepared as therapeutically effective estrogen receptor agonist. Title compds. are claimed in treating osteoporosis in post-menopausal woman in which cathepsin K activity contributes to the pathol. and symptomatol. of the disease. Thus, the title compound (S)-C6H5CH2OCONHCH(CH2CH(CH3)2)CONHCH2CN was prepared

IT **294622-48-3P 294622-71-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel N-cyanomethyl amides and compns. as protease inhibitors)

RN 294622-48-3 HCAPLUS

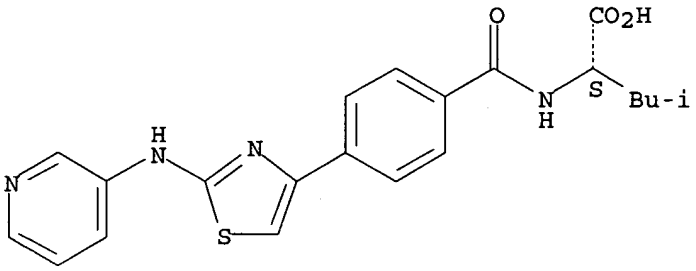
CN L-Leucine, N-[4-[2-(3-pyridinylamino)-4-thiazolyl]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 294622-71-2 HCAPLUS
CN L-Leucine, N-[4-[2-(3-pyridinylamino)-4-thiazolyl]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

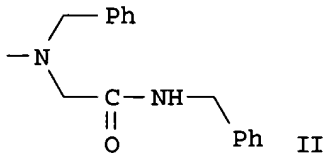


● HCl

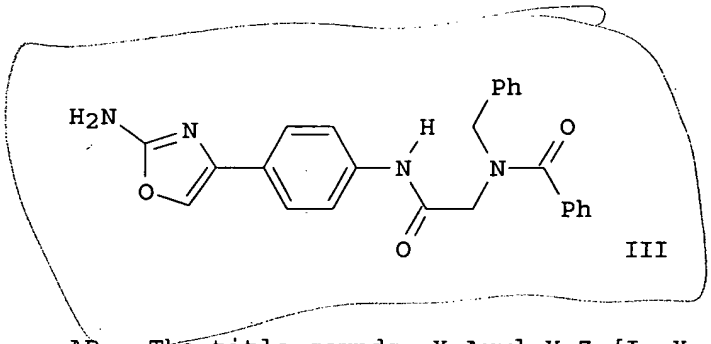
L24 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:351518 HCAPLUS
DOCUMENT NUMBER: 133:4650
TITLE: Preparation of heteroaryl-substituted aromatic compounds as antiherpes compounds
INVENTOR(S): Simoneau, Bruno; Crute, James J.; Faucher, Anne-Marie; Grygon, Christine A.; Hargrave, Karl D.; Thavonekham, Bounkham
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000029399	A1	20000525	WO 1999-CA1066	19991109
W: CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1998-108272P	P 19981112

OTHER SOURCE(S): MARPAT 133:4650
GI



II



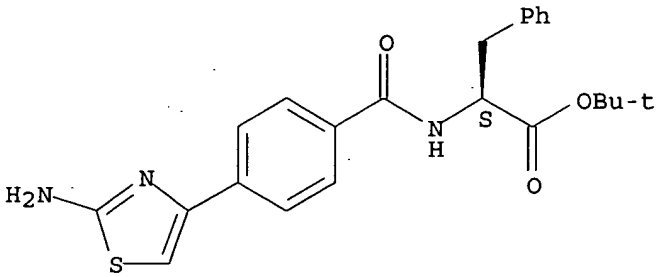
III

AB The title compds. X-Aryl-Y-Z [I; X = 5-6 membered aromatic heterocycle; Aryl = (un)substituted Ph, pyridyl; Y is absent or a bridging group, for example NHC(O)CH2; Z is a terminal group, for example NHCO2t-Bu or II], which inhibit the herpes helicase-primase enzyme, rendering the compds. useful as antiviral agents, were prepared E.g., a multi-step synthesis of benzamide III was presented. Biol. data (IC50 and/or EC50 against HSV-1 and HCMV) for compds. I were given.

IT **270566-77-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryl-substituted aromatic compds. as antiherpes compds.)

RN 270566-77-3 HCAPLUS
CN L-Phenylalanine, N-[4-(2-amino-4-thiazolyl)benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:457919 HCAPLUS
DOCUMENT NUMBER: 131:116229
TITLE: Preparation of thiazolecarboxamides as vitronectin receptor antagonists
INVENTOR(S): Alig, Leo; Edenhofer, Albrecht; Hilpert, Kurt; Weller, Thomas
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 928790	A1	19990714	EP 1998-124670	19981224
EP 928790	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6100282	A	20000808	US 1998-218567	19981222
NZ 333590	A	20000526	NZ 1998-333590	19981224
NZ 333591	A	20000526	NZ 1998-333591	19981224
AT 233746	E	20030315	AT 1998-124670	19981224
PT 928790	T	20030731	PT 1998-124670	19981224
ES 2193471	T3	20031101	ES 1998-124670	19981224
NO 9806159	A	19990705	NO 1998-6159	19981228
NO 312067	B1	20020311		
ZA 9811925	A	20000629	ZA 1998-11925	19981229
IL 127785	A1	20040927	IL 1998-127785	19981229
CA 2257328	AA	19990702	CA 1998-2257328	19981230
AU 9896144	A1	19990722	AU 1998-96144	19981230
AU 720618	B2	20000608		
SG 74686	A1	20000822	SG 1998-5978	19981230
JP 2000053664	A2	20000222	JP 1999-10	19990104
JP 3113237	B2	20001127		
BR 9900006	A	20000411	BR 1999-6	19990104
MX 9900215	A	20000630	MX 1999-215	19990104
RU 2218337	C2	20031210	RU 1999-100277	19990105
HK 1020953	A1	20020726	HK 1999-106136	19991228
US 6320054	B1	20011120	US 2000-526033	20000315
US 2002010316	A1	20020124	US 2001-878704	20010611
US 6344562	B2	20020205		

PRIORITY APPLN. INFO.:
EP 1998-100006 A 19980102
US 1998-218567 A3 19981222
US 2000-526033 A3 20000315

OTHER SOURCE(S): MARPAT 131:116229

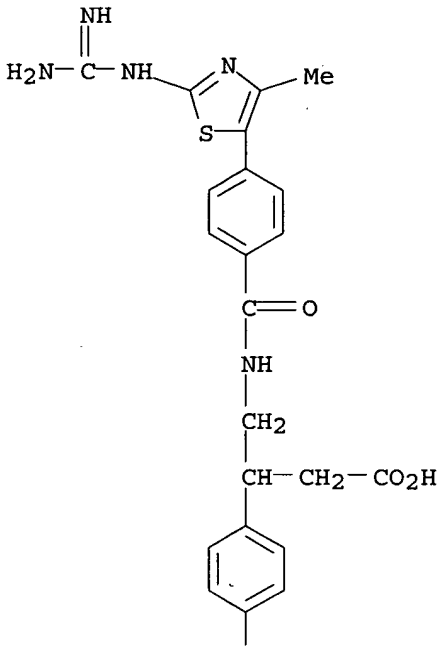
AB R1(CH2)aZ(CONR9)cZ1(CH2)e(NB)fAm(NH)g(CH2)n[CH[(CO)k(NH)lR10]]i(CH2)jCO2H [I; A = CO or SO2; B,R9 = H or (cyclo)alkyl; R1 = NR6CONR5(CH2)bR4, NR5R6, NHC(:NR8)NHR7, etc.; R4 = H, (cyclo)alkyl, (hetero)aryl; R5,R6 = H, (cyclo)alkyl, aryl, etc.; R7,R8 = H, (ar)alkyl, etc.; R7R8 = atoms to complete a ring; R10 = H, OH, (ar)alkyl, carboxy(alkyl), alkoxycarbonyl, etc.; Z = (un)substituted thiazole-2,4- or -2,5-diyl; Z1 = bond or arylene; a,j = 0-2; b = 0-4; c,f,g,h,i,k,l,m = 0 or 1; e = 0-3; h = 0-5] were prepared Thus, H2NC(:NH)NHCSNH2 was cyclocondensed with BrCH2COCO2Et and the saponified product amidated by H2NCH2CH2CONHCH2CH2CO2Et to give, after saponification, H2NC(:NH)NHZ(CONHCH2CH2)2CO2H (Z = thiazole-2,4-diyl). Data for biol. activity of I were given.

IT **232593-43-0P 232593-44-1P 232593-45-2P**

232593-46-3P 232593-60-1P 232593-89-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolecarboxamides as vitronectin receptor antagonists)

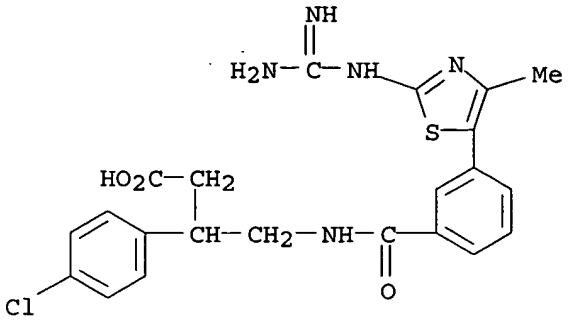
RN 232593-43-0 HCAPLUS
CN Benzenepropanoic acid, β-[[[4-[2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolyl]benzoyl]amino]methyl]-4-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 232593-44-1 HCAPLUS
CN Benzenepropanoic acid, β-[[[3-[2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolyl]benzoyl]amino]methyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 232593-45-2 HCAPLUS
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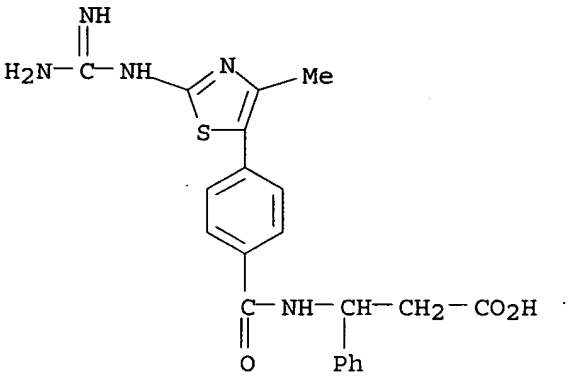
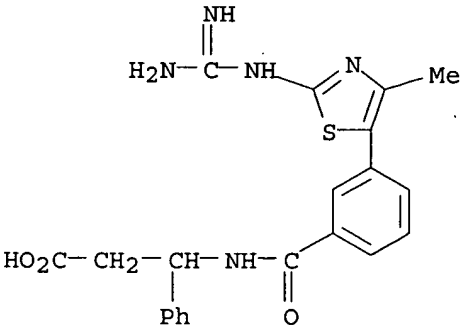
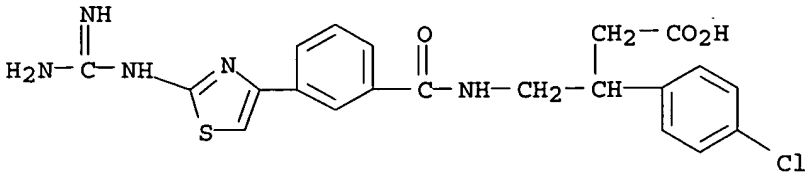


RN 232593-46-3 HCAPLUS
CN Benzenepropanoic acid, β-[[3-[2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolyl]benzoyl]amino]- (9CI) (CA INDEX NAME)

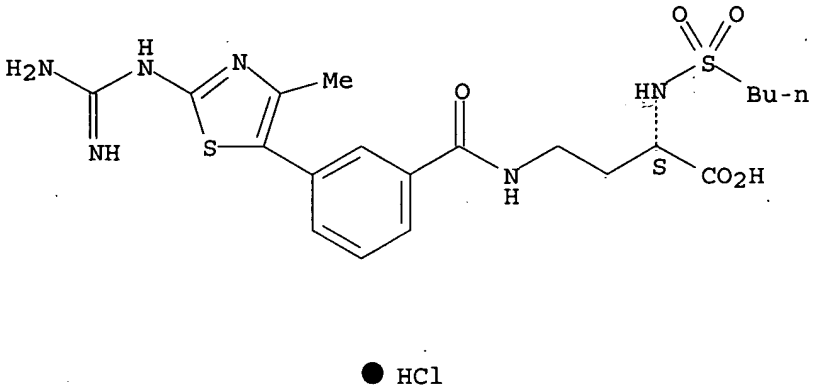


RN 232593-60-1 HCAPLUS
CN Benzenepropanoic acid, β-[[[3-[2-[(aminoiminomethyl)amino]-4-thiazolyl]benzoyl]amino]methyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 232593-89-4 HCAPLUS
CN Butanoic acid, 4-[[3-[2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolyl]benzoyl]amino]-2-[(butylsulfonyl)amino]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

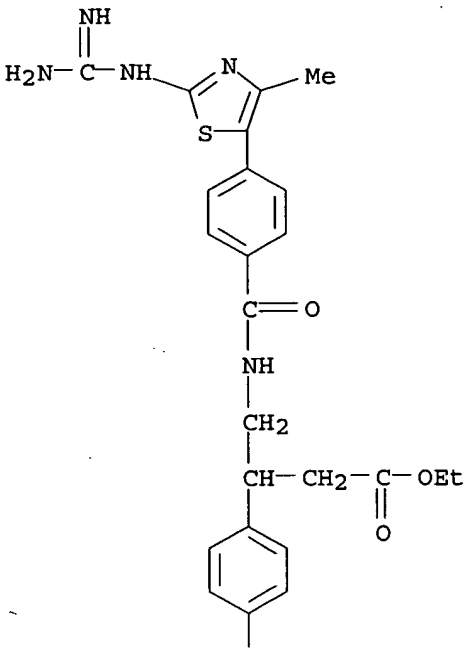
Absolute stereochemistry.



● HCl

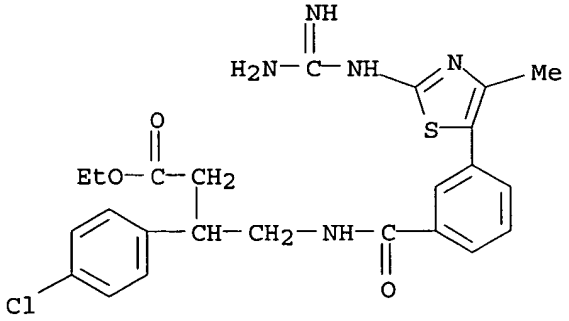
IT **232595-25-4P 232595-26-5P 232595-27-6P**
232595-28-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolecarboxamides as vitronectin receptor antagonists)
RN 232595-25-4 HCAPLUS
CN Benzenepropanoic acid, β-[[[4-[2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolyl]benzoyl]amino]methyl]-4-chloro-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

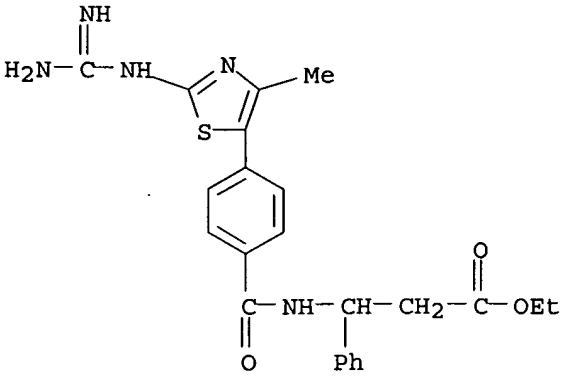


PAGE 2-A

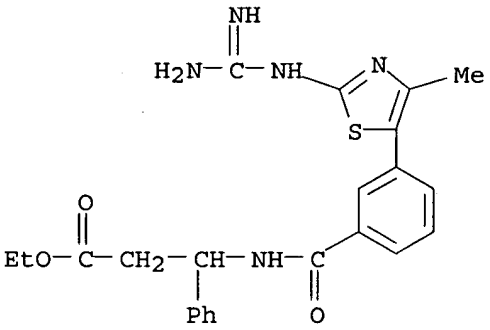
RN 232595-26-5 HCAPLUS
CN Benzenepropanoic acid, β-[[[3-[2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolyl]benzoyl]amino]methyl]-4-chloro-, ethyl ester (9CI) (CA INDEX NAME)



RN 232595-27-6 HCAPLUS
CN Benzenepropanoic acid, β-[[4-[2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolyl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 232595-28-7 HCAPLUS
CN Benzenepropanoic acid, β-[[3-[2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolyl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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